

10/631,018

=> file caplus

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FILE COVERS 1907 - 30 Nov 2005 VOL 143 ISS 23

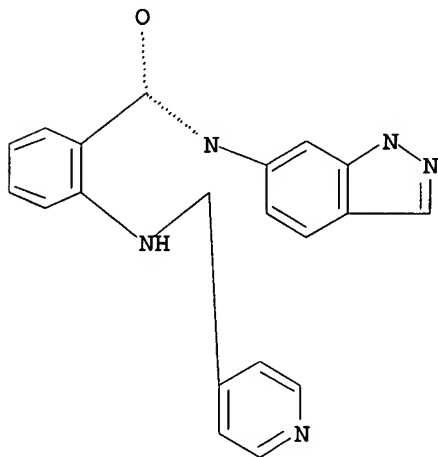
FILE LAST UPDATED: 29 Nov 2005 (20051129/ED)

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=> d que

L1 STR



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L3 19 SEA FILE=REGISTRY SSS FUL L1

L4 5 SEA FILE=CAPLUS L3

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L4 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:120827 CAPLUS

DOCUMENT NUMBER: 140:181330

TITLE: Preparation of anthranilamidopyridines as inhibitors of vascular endothelial growth factor receptor-2 and -3 (VEGFR-2 and -3).

INVENTOR(S): Huth, Andreas; Krueger, Martin; Zorn, Ludwig; Ince, Stuart; Thierauch, Karl-Heinz; Menrad, Andreas; Haberey, Martin; Hess-Stump, Holger

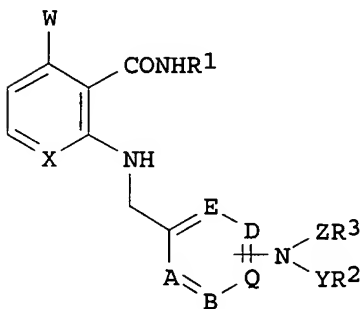
PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany

10/631,018

SOURCE: PCT Int. Appl., 88 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|------------------|------------|
| WO 2004013102 | A1 | 20040212 | WO 2003-EP7964 | 20030722 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW | | | |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| DE 10235690 | A1 | 20040219 | DE 2002-10235690 | 20020731 |
| DE 10328036 | A1 | 20050105 | DE 2003-10328036 | 20030619 |
| CA 2493026 | AA | 20040212 | CA 2003-2493026 | 20030722 |
| BR 2003013122 | A | 20050705 | BR 2003-13122 | 20030722 |
| EP 1594841 | A1 | 20051116 | EP 2003-740470 | 20030722 |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | |
| US 2004147535 | A1 | 20040729 | US 2003-631018 | 20030731 |
| US 2005054654 | A1 | 20050310 | US 2004-870491 | 20040618 |
| PRIORITY APPLN. INFO.: | | | DE 2002-10235690 | A 20020731 |
| | | | DE 2003-10328036 | A 20030619 |
| | | | US 2003-483896P | P 20030702 |
| | | | WO 2003-EP7964 | W 20030722 |

OTHER SOURCE(S): MARPAT 140:181330
 GI



AB Title compds. [I; X = CH, N; W = H, F; A, B, D, E, Q = N, C; ≤2 of A, B, D, E, Q = N; R1 = (substituted) aryl, heteroaryl; Y, Z = bond, CO, CS, SO₂; R₂, R₃ = H, CONR₉R₁₀, SO₂R₆, COR₁₁, NR₉R₁₀, (substituted) alkyl, cycloalkyl, cycloalkenyl, aryl, heteroaryl; R₂YNZAR₃ = atoms to form a 3-8 membered (substituted) (unsatd.) ring; R₆ = H, alkyl, haloalkyl, (substituted) aryl, heteroaryl, NR₉R₁₀; R₉, R₁₀ = H, alkyl, alkenyl, aryl, cycloalkyl, etc.; R₁₁ = alkyl, alkoxy, hydroxyalkyl, hydroxyalkoxy, cycloalkyl, (substituted) Ph, pyridyl, biphenyl, naphthyl], were prepared Thus, 2-[(2-bromopyridin-4-ylmethyl)amino]-N-(3-trifluoromethylphenyl)benzamide (preparation given) pyridine, and N,N-dimethylaminoethylamine were heated in a pressure vessel for 5 h at 200° to give 2-[[2-(2-dimethylaminoethylamino)pyridin-4-

10/631,018

ylmethyl]amino]-N-(3-trifluoromethylphenyl)benzamide. I inhibited VEGFR-2 with IC50 = 8-65 nM. I can be used for treatment of tumor or metastasis growth, psoriasis, Kaposi's sarcoma, restenosis, endometriosis, Crohn's disease, Hodgkin's disease, leukemia, arthritis, hemangioma, angiofibroma, eye disease, renal diseases, transplant rejection, fibrotic diseases, mesangial cell proliferative diseases, atherosclerosis, injuries to nervous tissue and for inhibition of the reocclusion of vessels after balloon catheter treatment, in vessel prosthetics, or after the application of mech. devices to hold open vessels, as immunosuppressants, for scar-free wound healing, age spots and contact dermatitis.

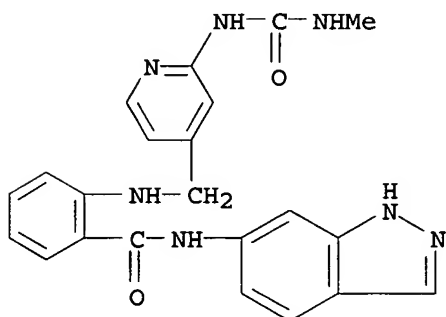
IT 657400-26-5P 657400-27-6P 657400-34-5P
657400-36-7P 657400-65-2P 657400-69-6P
657400-70-9P 657400-71-0P 657400-85-6P
657400-91-4P 657400-99-2P 657401-00-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of anthranilamidopyridines as inhibitors of vascular endothelial growth factor receptor)

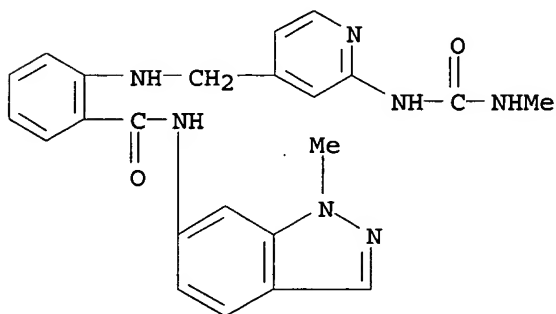
RN 657400-26-5 CAPLUS

CN Benzamide, N-1H-indazol-6-yl-2-[[[2-[[[(methylamino)carbonyl]amino]-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)



RN 657400-27-6 CAPLUS

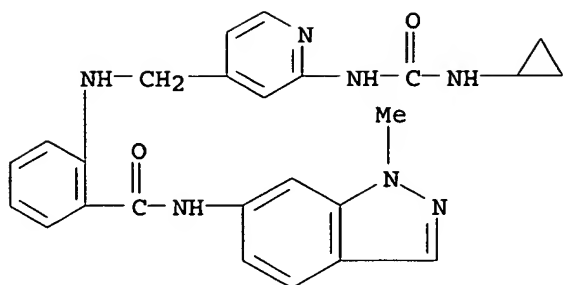
CN Benzamide, 2-[[[2-[[[(methylamino)carbonyl]amino]-4-pyridinyl]methyl]amino]-N-(1-methyl-1H-indazol-6-yl)]- (9CI) (CA INDEX NAME)



RN 657400-34-5 CAPLUS

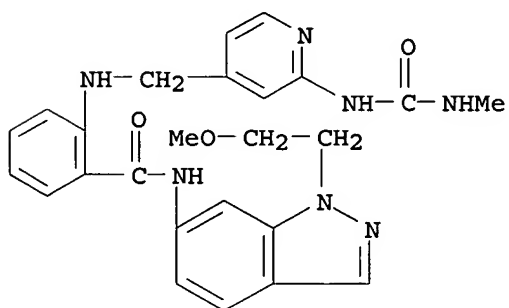
CN Benzamide, 2-[[[2-[[[(cyclopropylamino)carbonyl]amino]-4-pyridinyl]methyl]amino]-N-(1-methyl-1H-indazol-6-yl)]- (9CI) (CA INDEX NAME)

10/631,018



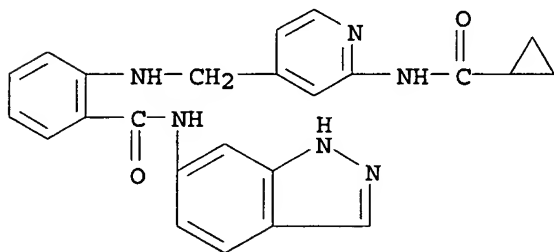
RN 657400-36-7 CAPLUS

CN Benzamide, N-[1-(2-methoxyethyl)-1H-indazol-6-yl]-2-[[[2-
 [(methylamino)carbonyl]amino]-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX
 NAME)



RN 657400-65-2 CAPLUS

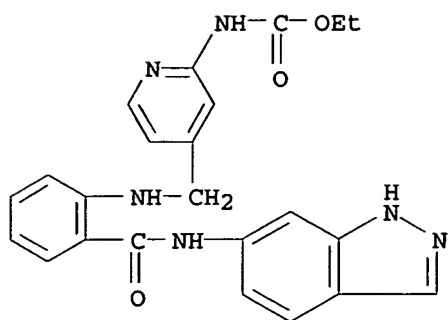
CN Benzamide, 2-[[[2-[(cyclopropylcarbonyl)amino]-4-pyridinyl]methyl]amino]-N-
 1H-indazol-6-yl]- (9CI) (CA INDEX NAME)



RN 657400-69-6 CAPLUS

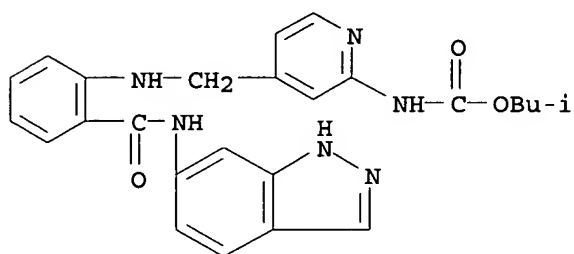
CN Carbamic acid, [4-[[[2-[(1H-indazol-6-ylamino)carbonyl]phenyl]amino]methyl
]-2-pyridinyl]-, ethyl ester (9CI) (CA INDEX NAME)

10/631,018



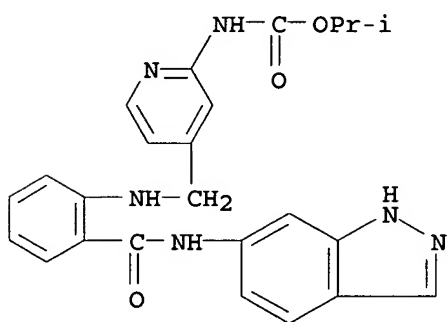
RN 657400-70-9 CAPLUS

CN Carbamic acid, [4-[[[2-[(1H-indazol-6-ylamino)carbonyl]phenyl]amino]methyl]-2-pyridinyl]-, 2-methylpropyl ester (9CI) (CA INDEX NAME)



RN 657400-71-0 CAPLUS

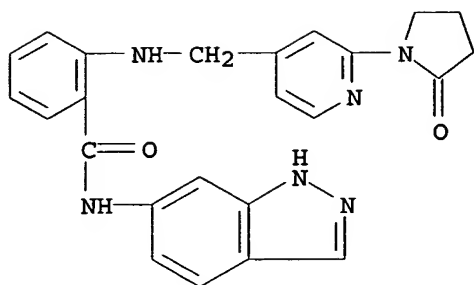
CN Carbamic acid, [4-[[[2-[(1H-indazol-6-ylamino)carbonyl]phenyl]amino]methyl]-2-pyridinyl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)



RN 657400-85-6 CAPLUS

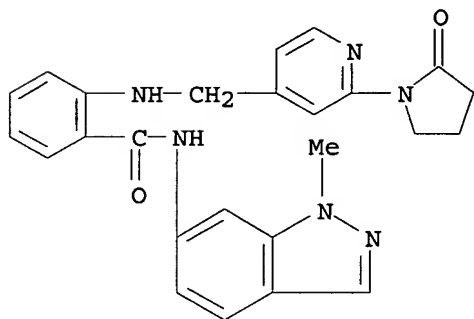
CN Benzamide, N-1H-indazol-6-yl-2-[[[2-(2-oxo-1-pyrrolidinyl)-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)

10/631,018



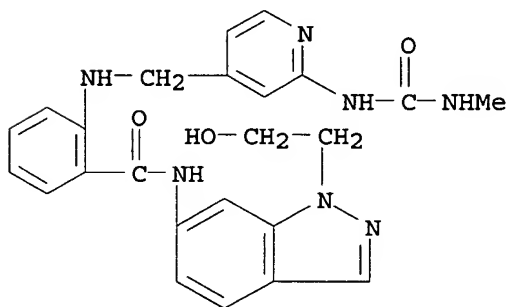
RN 657400-91-4 CAPLUS

CN Benzamide, N-(1-methyl-1H-indazol-6-yl)-2-[[[2-(2-oxo-1-pyrrolidinyl)-4-pyridinyl]methyl]amino] - (9CI) (CA INDEX NAME)



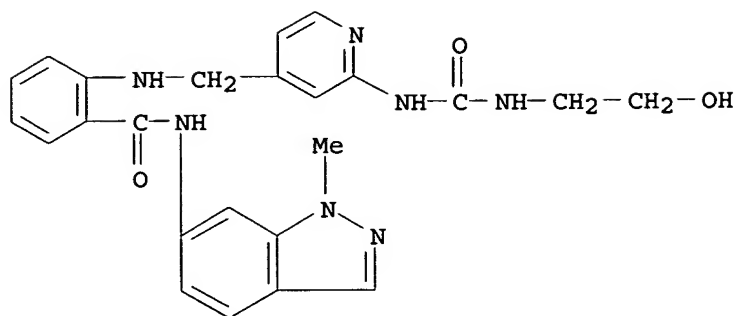
RN 657400-99-2 CAPLUS

CN Benzamide, N-[1-(2-hydroxyethyl)-1H-indazol-6-yl]-2-[[[2-[[[(methylamino)carbonyl]amino]-4-pyridinyl]methyl]amino] - (9CI) (CA INDEX NAME)



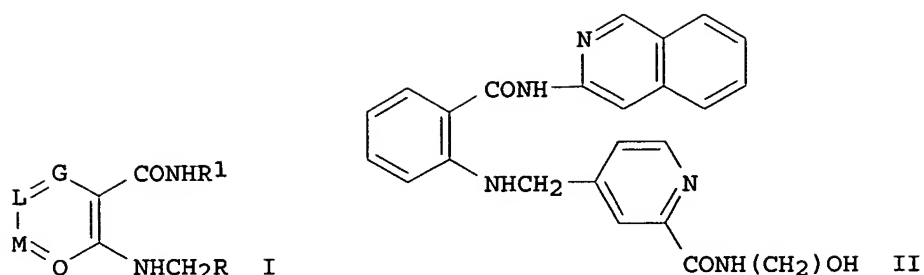
RN 657401-00-8 CAPLUS

CN Benzamide, 2-[[[2-[[[(2-hydroxyethyl)amino]carbonyl]amino]-4-pyridinyl]methyl]amino]-N-(1-methyl-1H-indazol-6-yl) - (9CI) (CA INDEX NAME)



L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 2002:868928 CAPLUS
 DOCUMENT NUMBER: 137:352900
 TITLE: Selective anthranilamide pyridine amides as inhibitors of VEGFR-2 and VEGFR-3
 INVENTOR(S): Ernst, Alexander; Huth, Andreas; Krueger, Martin; Thierauch, Karl-Heinz; Menrad, Andreas; Haberey, Martin
 PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany
 SOURCE: PCT Int. Appl., 115 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|------------------|------------|
| WO 2002090352 | A2 | 20021114 | WO 2002-EP4924 | 20020503 |
| WO 2002090352 | A3 | 20030501 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| DE 10123574 | A1 | 20021128 | DE 2001-10123574 | 20010508 |
| DE 10125294 | A1 | 20021121 | DE 2001-10125294 | 20010515 |
| DE 10164590 | A1 | 20030710 | DE 2001-10164590 | 20011221 |
| CA 2453223 | AA | 20021114 | CA 2002-2453223 | 20020503 |
| EP 1392680 | A2 | 20040303 | EP 2002-735333 | 20020503 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| BR 2002009485 | A | 20040706 | BR 2002-9485 | 20020503 |
| CN 1518546 | A | 20040804 | CN 2002-809580 | 20020503 |
| JP 2004528379 | T2 | 20040916 | JP 2002-587431 | 20020503 |
| US 2004254185 | A1 | 20041216 | US 2004-477119 | 20040623 |
| PRIORITY APPLN. INFO.: | | | | |
| | | | DE 2001-10123574 | A 20010508 |
| | | | DE 2001-10125294 | A 20010515 |
| | | | DE 2001-10164590 | A 20011221 |
| | | | WO 2002-EP4924 | W 20020503 |
| OTHER SOURCE(S): MARPAT 137:352900 | | | | |
| GI | | | | |



AB Title compds. I [G, L, M, Q = N, (un)substituted CH, ≤1 of them being N; R = (un)substituted N heterocycle; R¹ = (un)substituted alkyl, alkenyl, cycloalkyl, cycloalkenyl, aryl, heteroaryl] were prepared I are inhibitors of VEGFR-2 and VEGFR-3 and are used as medicaments for treating diseases that are caused by persistent angiogenesis, such as psoriasis, Kaposi's sarcoma, restenosis, such as e.g. stent-induced restenosis, endometriosis, Crohn's disease, Hodgkin's disease, leukemia, arthritis, such as rheumatoid arthritis, hemangioma, angiofibromatosis, in eye diseases such as diabetic retinopathy, neovascular glaucoma, in kidney diseases such as glomerulonephritis, diabetic nephropathy, malign nephrosclerosis, thrombic micro-angiopathic syndrome, transplant rejection and glomerulopathy, in fibrotic diseases such as hepatic cirrhosis, mesangial-cell proliferative diseases, arteriosclerosis, damage to the nerve tissue and inhibition of the re-occlusion of vessels after balloon catheter treatment, in vessel prosthetics or after the use of mech. devices for keeping vessels open, e.g. stents, as immunosuppressants, to support wound healing without scars and in cases of age spots and contact dermatitis. I can also be used as inhibitors of VEGFR-3 in lymphangiogenesis for hyperplastic and dysplastic changes in the lymphatic system. Thus, 2-amino-N-isoquinolin-3-ylbenzamide was treated with 2-bromo-5-pyridinecarboxaldehyde, followed by carboxylation and amidation to give the amide II. II had IC₅₀ for inhibition of VEGFR-2 of 40 nM and for inhibition of cytochrome 450 isoenzyme 2C9 of 2.9 μM.

IT 474798-92-0P 474798-93-1P 474798-94-2P
474798-96-4P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

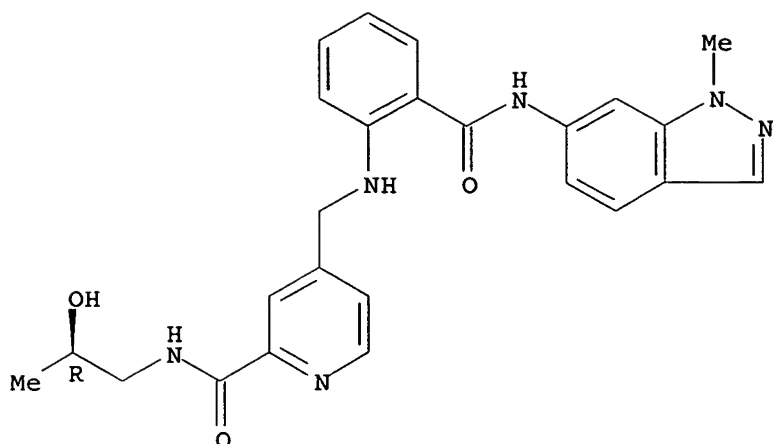
(preparation of isoquinolinylcarbamoylphenylaminomethylpyridinecarboxamides as VEGFR-2 and VEGFR-3 inhibitors)

RN 474798-92-0 CAPLUS

CN 2-Pyridinecarboxamide, N-[(2R)-2-hydroxypropyl]-4-[[[2-[[[1-methyl-1H-indazol-6-yl]amino]carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

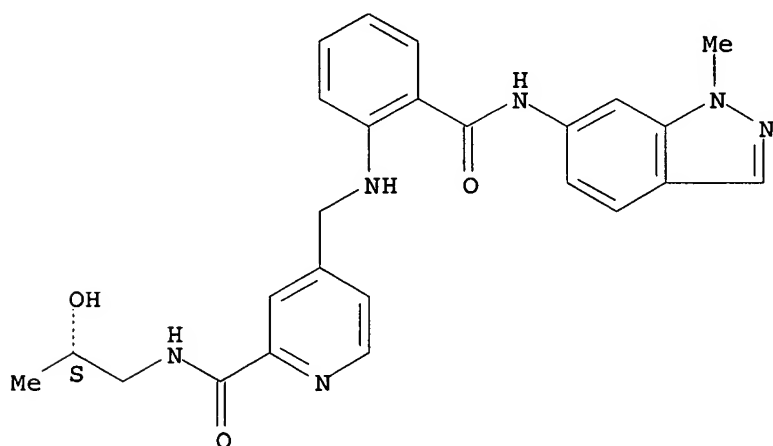
10/631,018



RN 474798-93-1 CAPLUS

CN 2-Pyridinecarboxamide, N-[(2S)-2-hydroxypropyl]-4-[[[2-[(1-methyl-1H-indazol-6-yl)amino]carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

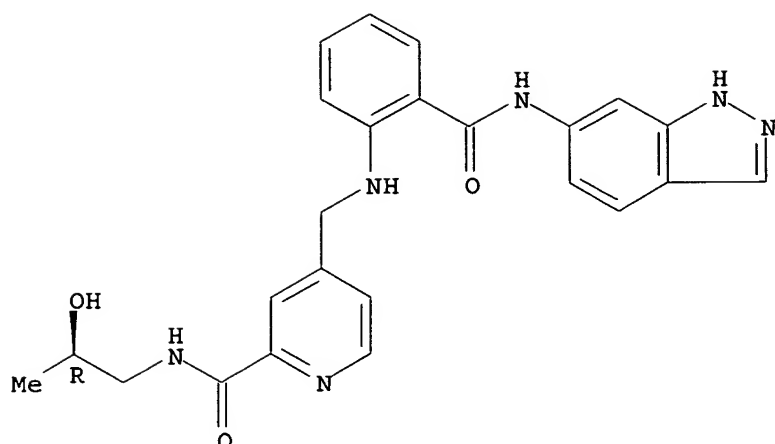


RN 474798-94-2 CAPLUS

CN 2-Pyridinecarboxamide, N-[(2R)-2-hydroxypropyl]-4-[[[2-[(1H-indazol-6-ylamino)carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

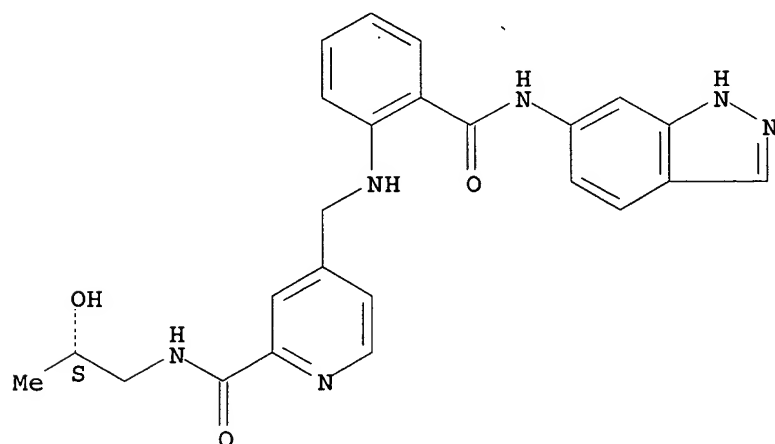
10/631,018



RN 474798-96-4 CAPLUS

CN 2-Pyridinecarboxamide, N-[(2S)-2-hydroxypropyl]-4-[[[2-[(1H-indazol-6-ylamino)carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:868925 CAPLUS

DOCUMENT NUMBER: 137:352899

TITLE: Pyridylmethylantranilamide N-oxides as inhibitors of VEGFR II kinase

INVENTOR(S): Ernst, Alexander; Huth, Andreas; Krueger, Martin; Thierauch, Karl-Heinz; Menrad, Andreas; Haberey, Martin

PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany

SOURCE: PCT Int. Appl., 50 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

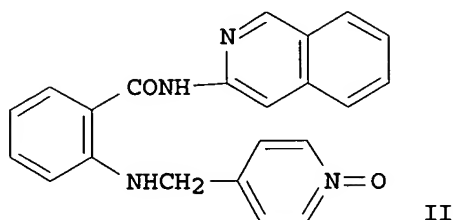
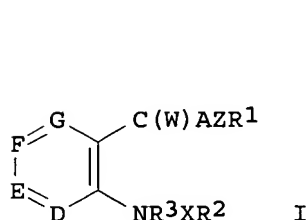
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|------|----------|-----------------|----------|
| WO 2002090349 | A1 | 20021114 | WO 2002-EP4923 | 20020503 |

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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
DE 10123573 A1 20021128 DE 2001-10123573 20010508
DE 10123573 B4 20050602
DE 10125293 A1 20021121 DE 2001-10125293 20010515
EP 1389201 A1 20040218 EP 2002-740563 20020503
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
JP 2004528378 T2 20040916 JP 2002-587429 20020503
US 2005032816 A1 20050210 US 2004-476755 20040624
PRIORITY APPLN. INFO.: DE 2001-10123573 A 20010508
DE 2001-10125293 A 20010515
WO 2002-EP4923 W 20020503

OTHER SOURCE(S): MARPAT 137:352899
GI



AB Title compds. I [D, E, F, G = N, (un)substituted CH; A = (un)substituted NH; W = O, S, H₂, (un)substituted NH; X, Z = (un)substituted alkylene; R₁ = (un)substituted alkyl, alkenyl, cycloalkyl, cycloalkenyl, aryl, heteroaryl; R₂ = (un)substituted hetaryl N-oxide; R₃ = H, alkyl] were prepared. These compds. can be used in the treatment of psoriasis, Kaposi's sarcoma, restenosis, endometriosis, Crohn's disease, Hodgkin's disease, leukemia, arthritis, such as rheumatoid arthritis, hemangioma, angiofibroma, eye diseases, such as diabetic retinopathy, neovascular glaucoma, renal diseases, such as glomerulonephritis, diabetic nephropathy, malignant nephrosclerosis, thrombotic microangiopathic syndromes, transplant rejections and glomerulopathy, fibrotic diseases such as cirrhosis of the liver, mesangial cell-proliferative diseases, arteriosclerosis, injuries of the nerve tissue, and for inhibiting the reocclusion of vessels after balloon catheter treatment, for use in vascular prosthetics or after inserting mech. devices for holding vessels open such as, e.g. stents, as immunosuppressants, as an aid in scar-free wound healing, and for treating age spots and contact dermatitis. They can also be used as VEGFR-3 inhibitors in lymphangiogenesis. Thus, the N-oxide II was obtained by reductive alkylation of 2-amino-N-isoquinolin-3-ylbenzamide with isonicotinaldehyde N-oxide and had IC₅₀ for inhibition of VEGFR II of 0.03 μM.

IT 474760-11-7P

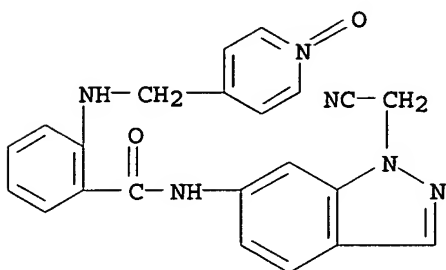
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyridylmethylantranilamide N-oxides as inhibitors of VEGFR II kinase)

RN 474760-11-7 CAPLUS

10/631,018

CN Benzamide, N-[1-(cyanomethyl)-1H-indazol-6-yl]-2-[[[(1-oxido-4-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:833307 CAPLUS

DOCUMENT NUMBER: 136:53680

TITLE: Preparation of anthranilic acid arylamides as inhibitors of tyrosine kinase KDR and FLT.

INVENTOR(S): Krueger, Martin; Huth, Andreas; Petrov, Orlin; Seidelmann, Dieter; Thierauch, Karl-Heinz; Haberey, Martin; Menrad, Andreas; Ernst, Alexander

PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany

SOURCE: PCT Int. Appl., 32 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|------------------|----------|
| WO 2001085719 | A1 | 20011115 | WO 2001-EP5214 | 20010508 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| DE 10023486 | C1 | 20020314 | DE 2000-10023486 | 20000509 |
| CA 2407852 | AA | 20011115 | CA 2001-2407852 | 20010508 |
| EP 1280799 | A1 | 20030205 | EP 2001-940416 | 20010508 |
| EP 1280799 | B1 | 20040121 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| BR 2001010621 | A | 20030325 | BR 2001-10621 | 20010508 |
| JP 2003532725 | T2 | 20031105 | JP 2001-582320 | 20010508 |
| AT 258174 | E | 20040215 | AT 2001-940416 | 20010508 |
| EE 200200625 | A | 20040415 | EE 2002-625 | 20010508 |
| PT 1280799 | T | 20040630 | PT 2001-940416 | 20010508 |
| ES 2214424 | T3 | 20040916 | ES 2001-1940416 | 20010508 |
| NZ 521700 | A | 20050930 | NZ 2001-521700 | 20010508 |
| RU 2264399 | C2 | 20051120 | RU 2002-131887 | 20010508 |
| NO 2002005358 | A | 20021108 | NO 2002-5358 | 20021108 |
| BG 107261 | A | 20030630 | BG 2002-107261 | 20021108 |

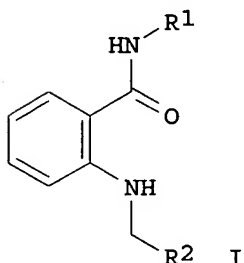
10/631,018

ZA 2002009896
US 2004102441
PRIORITY APPLN. INFO.:

A 20040305
A1 20040527

ZA 2002-9896 20021205
US 2003-275480 20030624
DE 2000-10023486 A 20000509
WO 2001-EP5214 W 20010508

OTHER SOURCE(S): MARPAT 136:53680
GI



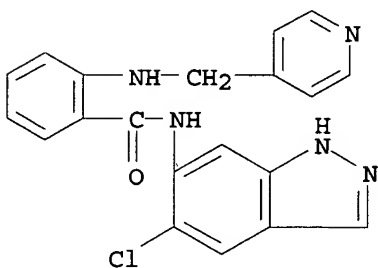
AB Title compds. [I; R1 = (substituted) oxobenzopyranyl, quinolinyl, Ph, isoquinolinyl, benzimidazolyl, etc.; R2 = pyridyl, 2-oxopyridyl, 2-hydroxypyridyl; R3 = H, F], were prepared Thus, N-(2-oxo-2H-1-benzopyran-3-yl)-2-aminobenzamide (preparation given) was stirred with 4-pyridinecarboxaldehyde in AcOH/MeOH; NaBH3CN was added to give N-(2-oxo-2H-1-benzopyran-3-yl)-2-[(4-pyridyl)methyl]aminobenzamide. The latter inhibited KDR with IC50 = 0.003 µM.

IT 381694-76-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of anthranilic acid arylamides as inhibitors of tyrosine kinase KDR and FLT)

RN 381694-76-4 CAPLUS

CN Benzamide, N-(5-chloro-1H-indazol-6-yl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2000:335387 CAPLUS

DOCUMENT NUMBER: 132:334364

TITLE: Preparation of anthranilic acid amides as vascular endothelial growth factor receptor inhibitors.

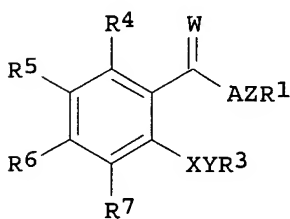
INVENTOR(S): Huth, Andreas; Seidelmann, Dieter; Thierauch, Karl-Heinz; Bold, Guido; Manley, Paul William; Furet, Pascal; Wood, Jeanette Marjorie; Mestan, Jurgen; Bruggen, Jose; Ferrari, Stefano; Kruger, Martin;

10/631,018

PATENT ASSIGNEE(S): Ottow, Eckhard; Menrad, Andreas; Schirner, Michael
 Schering Aktiengesellschaft, Germany; Novartis
 Aktiengesellschaft
 SOURCE: PCT Int. Appl., 96 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-------------------|------------|
| WO 2000027819 | A2 | 20000518 | WO 1999-EP8478 | 19991109 |
| WO 2000027819 | A3 | 20000817 | | |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| DE 19910396 | A1 | 20000907 | DE 1999-19910396 | 19990303 |
| DE 19910396 | C2 | 20011213 | | |
| CA 2350208 | AA | 20000518 | CA 1999-2350208 | 19991109 |
| BR 9915553 | A | 20010814 | BR 1999-15553 | 19991109 |
| EP 1129074 | A2 | 20010905 | EP 1999-953967 | 19991109 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| TR 200101307 | T2 | 20020521 | TR 2001-200101307 | 19991109 |
| JP 2002529452 | T2 | 20020910 | JP 2000-580999 | 19991109 |
| EE 200100258 | A | 20021216 | EE 2001-258 | 19991109 |
| NZ 511413 | A | 20040130 | NZ 1999-511413 | 19991109 |
| AU 771180 | B2 | 20040318 | AU 2000-10454 | 19991109 |
| NO 2001002245 | A | 20010710 | NO 2001-2245 | 20010507 |
| BG 105588 | A | 20020430 | BG 2001-105588 | 20010611 |
| HK 1041882 | A1 | 20050318 | HK 2002-103628 | 20020514 |
| PRIORITY APPLN. INFO.: | | | GB 1998-24579 | A 19981110 |
| | | | DE 1999-19910396 | A 19990303 |
| | | | WO 1999-EP8478 | W 19991109 |

OTHER SOURCE(S): MARPAT 132:334364
 GI



AB Title compds. [I; A = NR₂; W = O, S, H₂, NR₈; Z = NR₁₀, N, NR₁₀(CH₂)_q, alkyl, etc.; q = 1-6; AZR₁ = tetrahydroisoquinolinyl, indazolyl, 5-chloroindolyl, etc.; R₁ = (substituted) aryl, heteroaryl; R₂ = H, alkyl; R₃ = (substituted) mono- or bicyclic aryl, heteroaryl; R₄-R₇ = H, halo, (substituted) alkoxy, alkyl, carboxyalkyl; R₅R₆ = dioxetanyl; R₈, R₁₀ = H, alkyl]. Thus, Me N-(4-pyridylmethyl)anthranilate (preparation given) was stirred with Ph(CH₂)₃NH₂ and Me₃Al were stirred in PhMe to give

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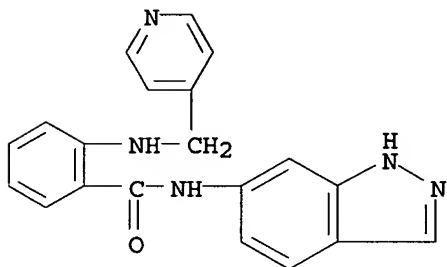
N-(3-phenylprop-1-yl)-N2-(4-pyridylmethyl)anthranilamide. The latter inhibited VEGFR I with IC50 = 0.05 µM.

IT 267891-75-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of anthranilic acid amides as VEGF receptor inhibitors)

RN 267891-75-8 CAPLUS

CN Benzamide, N-1H-indazol-6-yl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



=> => file uspatall

FILE 'USPATFULL' ENTERED AT 15:50:29 ON 30 NOV 2005

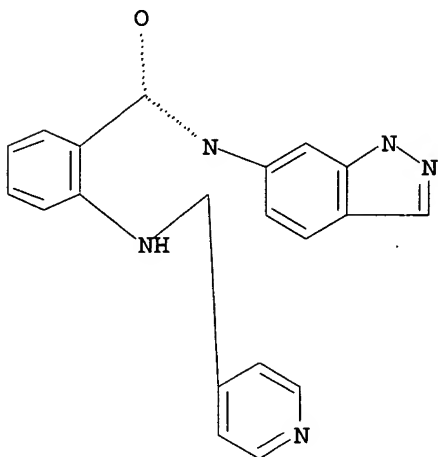
CA INDEXING COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPAT2' ENTERED AT 15:50:29 ON 30 NOV 2005

CA INDEXING COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

=> d que

L1 STR



Structure attributes must be viewed using STN Express query preparation.

L3 19 SEA FILE=REGISTRY SSS FUL L1

L5 10 SEA L3

=> d 15 1-10 ibib abs hitstr

L5 ANSWER 1 OF 10 USPATFULL on STN

10/631,018

ACCESSION NUMBER: 2005:63612 USPATFULL
TITLE: VEGFR-2 and VEGFR-3 inhibitory anthranilamide pyridines
INVENTOR(S): Huth, Andreas, Berlin, GERMANY, FEDERAL REPUBLIC OF
Zorn, Ludwig, Berlin, GERMANY, FEDERAL REPUBLIC OF
Krueger, Martin, Berlin, GERMANY, FEDERAL REPUBLIC OF
Ince, Stuart, Berlin, GERMANY, FEDERAL REPUBLIC OF
Thierauch, Karl Heinz, Berlin, GERMANY, FEDERAL
REPUBLIC OF
Menrad, Andreas, Berlin, GERMANY, FEDERAL REPUBLIC OF
Haberey, Martin, Berlin, GERMANY, FEDERAL REPUBLIC OF
Hess-Stumpp, Holger, Berlin, GERMANY, FEDERAL REPUBLIC
OF

| | NUMBER | KIND | DATE |
|---------------------|----------------|------|---------------|
| PATENT INFORMATION: | US 2005054654 | A1 | 20050310 |
| APPLICATION INFO.: | US 2004-870491 | A1 | 20040618 (10) |

| | NUMBER | DATE |
|-----------------------|---|---------------|
| PRIORITY INFORMATION: | DE 2002-10235690 | 20020731 |
| | US 2003-483896P | 20030702 (60) |
| DOCUMENT TYPE: | Utility | |
| FILE SEGMENT: | APPLICATION | |
| LEGAL REPRESENTATIVE: | MILLEN, WHITE, ZELANO & BRANIGAN, P.C., 2200 CLARENDON BLVD., SUITE 1400, ARLINGTON, VA, 22201 | |
| NUMBER OF CLAIMS: | 11 | |
| EXEMPLARY CLAIM: | 1 | |
| LINE COUNT: | 1593 | |

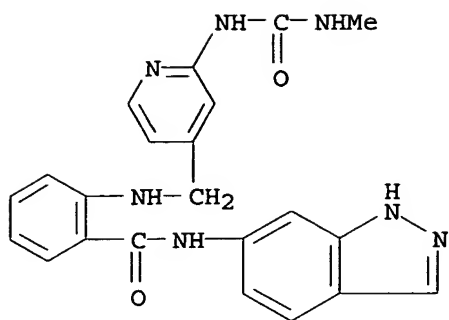
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB VEGFR-2 and VEGFR-3 inhibitory anthranilamide pyridinamides, their production and use as pharmaceutical agents for treating diseases that are triggered by persistent angiogenesis, as well as intermediate products for the production of the compounds are described. The compounds according to the invention can be used as or in the case of tumor or metastasis growth, psoriasis, Kaposi's sarcoma, restenosis, such as, e.g., stent-induced restenosis, endometriosis, Crohn's disease, Hodgkin's disease, leukemia; arthritis, such as rheumatoid arthritis, hemangioma, angiofibroma; eye diseases, such as diabetic retinopathy, neovascular glaucoma; renal diseases, such as glomerulonephritis, diabetic nephropathy, malignant nephrosclerosis, thrombic microangiopathic syndrome, transplant rejections and glomerulopathy; fibrotic diseases, such as cirrhosis of the liver; mesangial cell proliferative diseases, arteriosclerosis, injuries to nerve tissue, and inhibition of the reocclusion of vessels after balloon catheter treatment, in vascular prosthetics or after mechanical devices are used to keep vessels open, such as, e.g., stents, as immunosuppressive agents, as a support in scar-free healing, senile keratosis and contact dermatitis. The compounds according to the invention can also be used as VEGFR-3 inhibitors in the case of lymphangiogenesis.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

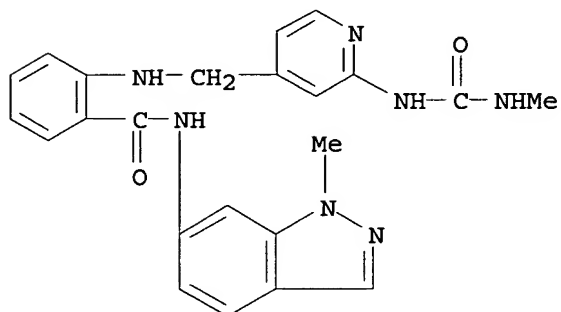
IT 657400-26-5P 657400-27-6P 657400-34-5P
657400-36-7P 657400-65-2P 657400-69-6P
657400-70-9P 657400-71-0P 657400-85-6P
657400-91-4P 657400-99-2P 657401-00-8P
(preparation of anthranilamidopyridines as inhibitors of vascular endothelial growth factor receptor)
RN 657400-26-5 USPATFULL
CN Benzamide, N-1H-indazol-6-yl-2-[[[2-[(methylamino)carbonyl]amino]-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)

10/631,018



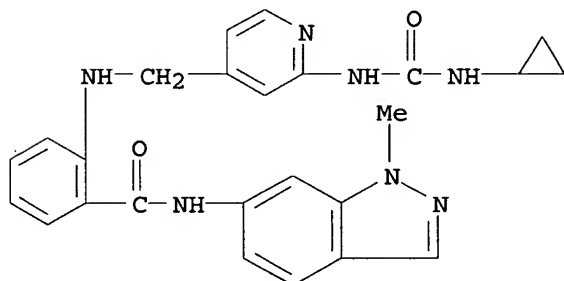
RN 657400-27-6 USPATFULL

CN Benzamide, 2-[[[2-[[[(methylamino)carbonyl]amino]-4-pyridinyl]methyl]amino]-N-(1-methyl-1H-indazol-6-yl)]- (9CI) (CA INDEX NAME)



RN 657400-34-5 USPATFULL

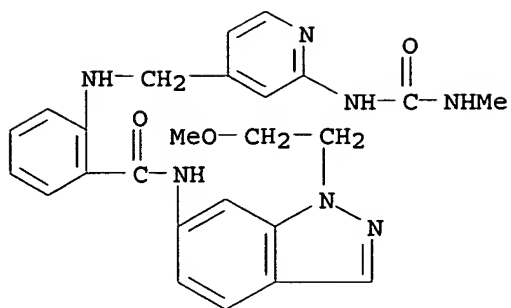
CN Benzamide, 2-[[[2-[[[(cyclopropylamino)carbonyl]amino]-4-pyridinyl]methyl]amino]-N-(1-methyl-1H-indazol-6-yl)]- (9CI) (CA INDEX NAME)



RN 657400-36-7 USPATFULL

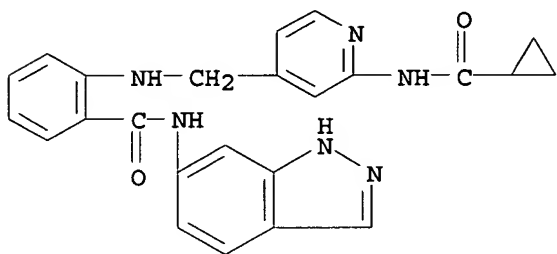
CN Benzamide, N-[1-(2-methoxyethyl)-1H-indazol-6-yl]-2-[[[2-[[[(methylamino)carbonyl]amino]-4-pyridinyl]methyl]amino]]- (9CI) (CA INDEX NAME)

10/631,018



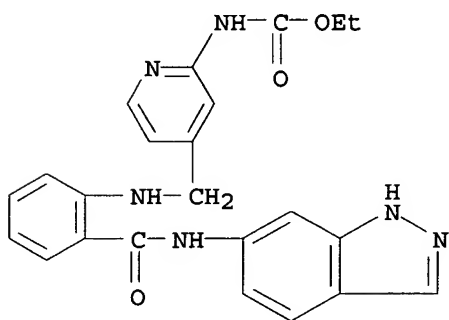
RN 657400-65-2 USPATFULL

CN Benzamide, 2-[[[2-[(cyclopropylcarbonyl)amino]-4-pyridinyl]methyl]amino]-N-(1H-indazol-6-yl)- (9CI) (CA INDEX NAME)



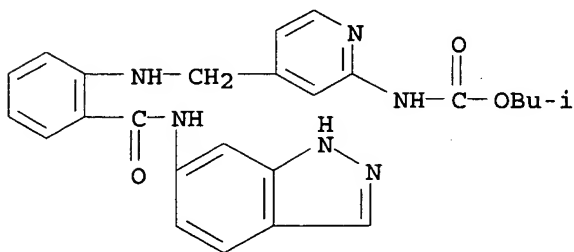
RN 657400-69-6 USPATFULL

CN Carbamic acid, [4-[[[2-[(1H-indazol-6-ylamino)carbonyl]phenyl]amino]methyl]-2-pyridinyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 657400-70-9 USPATFULL

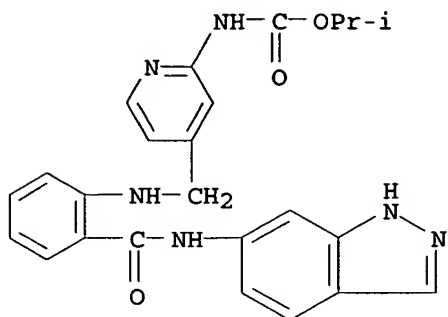
CN Carbamic acid, [4-[[[2-[(1H-indazol-6-ylamino)carbonyl]phenyl]amino]methyl]-2-pyridinyl]-, 2-methylpropyl ester (9CI) (CA INDEX NAME)



10/631,018

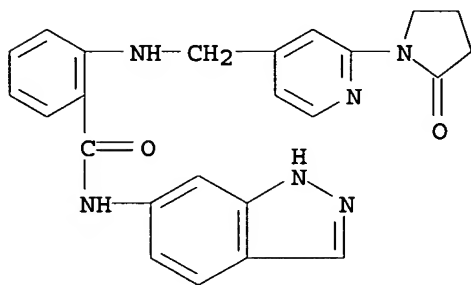
RN 657400-71-0 USPATFULL

CN Carbamic acid, [4-[[[2-[(1H-indazol-6-ylamino) carbonyl]phenyl]amino]methyl]-2-pyridinyl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)



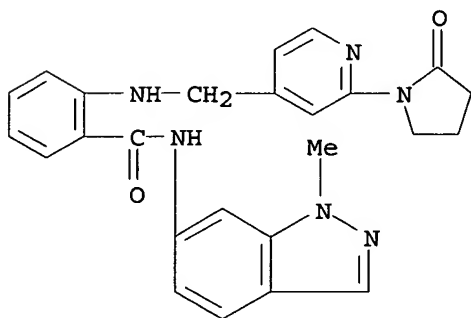
RN 657400-85-6 USPATFULL

CN Benzamide, N-1H-indazol-6-yl-2-[[[2-(2-oxo-1-pyrrolidinyl)-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)



RN 657400-91-4 USPATFULL

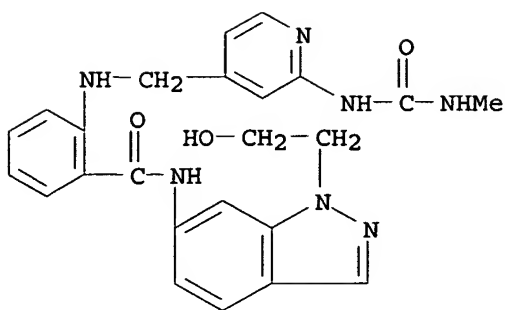
CN Benzamide, N-(1-methyl-1H-indazol-6-yl)-2-[[[2-(2-oxo-1-pyrrolidinyl)-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)



RN 657400-99-2 USPATFULL

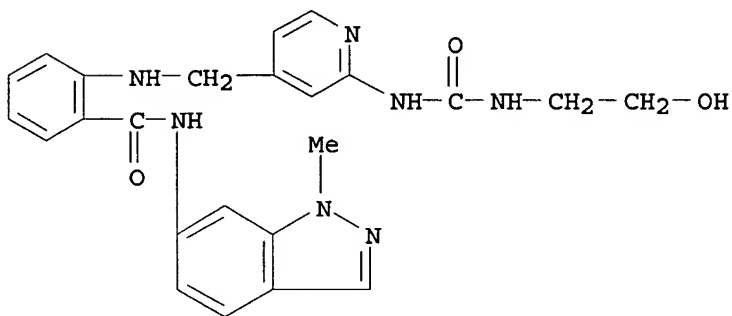
CN Benzamide, N-[1-(2-hydroxyethyl)-1H-indazol-6-yl]-2-[[[2-[[[(methylamino) carbonyl]amino]-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)

10/631,018



RN 657401-00-8 USPATFULL

CN Benzamide, 2-[[[2-[[[(2-hydroxyethyl)amino]carbonyl]amino]-4-pyridinyl]methyl]amino]-N-(1-methyl-1H-indazol-6-yl)- (9CI) (CA INDEX NAME)



L5 ANSWER 2 OF 10 USPATFULL on STN

ACCESSION NUMBER: 2005:38136 USPATFULL

TITLE: N-oxide anthranilamide derivatives and their use as medicaments

INVENTOR(S): Ernst, Alexander, Berlin, GERMANY, FEDERAL REPUBLIC OF
Huth, Andreas, Berlin, GERMANY, FEDERAL REPUBLIC OF
Krueger, Martin, Berlin, GERMANY, FEDERAL REPUBLIC OF
Thierauch, Karl-Heniz, Berlin, GERMANY, FEDERAL REPUBLIC OF
Menrad, Andreas, Oranienburg, GERMANY, FEDERAL REPUBLIC OF
Haberey, Martin, Berlin, GERMANY, FEDERAL REPUBLIC OF

| | NUMBER | KIND | DATE |
|---------------------|----------------|------|---------------|
| PATENT INFORMATION: | US 2005032816 | A1 | 20050210 |
| APPLICATION INFO.: | US 2004-476755 | A1 | 20040624 (10) |
| | WO 2002-EP4923 | | 20020503 |

| | NUMBER | DATE |
|-----------------------|--|----------|
| PRIORITY INFORMATION: | DE 2001-123573 | 20010508 |
| | DE 2001-125293 | 20010515 |
| DOCUMENT TYPE: | Utility | |
| FILE SEGMENT: | APPLICATION | |
| LEGAL REPRESENTATIVE: | MILLEN, WHITE, ZELANO & BRANIGAN, P.C., 2200 CLARENDON BLVD., SUITE 1400, ARLINGTON, VA, 22201 | |
| NUMBER OF CLAIMS: | 12 | |
| EXEMPLARY CLAIM: | 1 | |

10/631,018

LINE COUNT: 1067

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Substituted N-oxidanthranilamide derivatives, their production and use as pharmaceutical agents for treating diseases that are triggered by persistent angiogenesis are described. The compounds according to the invention can be used as or in the case of psoriasis, Kaposi's sarcoma, restenosis, endometriosis, Crohn's disease, Hodgkin's disease, leukemia; arthritis, such as rheumatoid arthritis, hemangioma, angiofibroma; eye diseases, such as diabetic retinopathy, neovascular glaucoma; renal diseases, such as glomerulonephritis, diabetic nephropathy, malignant nephrosclerosis, thrombic microangiopathic syndrome, transplant rejections and glomerulopathy; fibrotic diseases, such as cirrhosis of the liver, mesangial cell proliferative diseases, arteriosclerosis, injuries to nerve tissue, and inhibition of the reocclusion of vessels after balloon catheter treatment, in vascular prosthetics or after mechanical devices are used to keep vessels open, such as, e.g., stents, as immunosuppressive agents, as a support in scar-free healing, senile keratosis and contact dermatitis. The compounds according to the invention can also be used as VEGFR-3 inhibitors in the case of lymphangiogenesis.

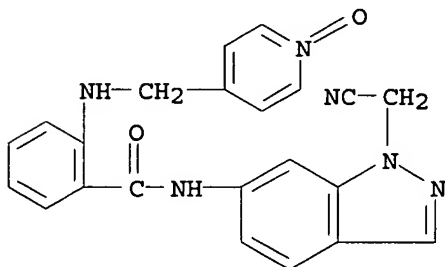
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 474760-11-7P

(preparation of pyridylmethylantranilamide N-oxides as inhibitors of VEGFR II kinase)

RN 474760-11-7 USPATFULL

CN Benzamide, N-[1-(cyanomethyl)-1H-indazol-6-yl]-2-[[1-(4-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)



L5 ANSWER 3 OF 10 USPATFULL on STN

ACCESSION NUMBER: 2004:321530 USPATFULL

TITLE: Selective anthranilamide pyridine amides as inhibitors of vegfr-2 and vegfr-3

INVENTOR(S): Ernst, Alexander, Berlin, GERMANY, FEDERAL REPUBLIC OF
Huth, Andreas, Berlin, GERMANY, FEDERAL REPUBLIC OF
Kruger, Martin, Berlin, GERMANY, FEDERAL REPUBLIC OF
Thierauch, Karl-Heinz, Berlin, GERMANY, FEDERAL
REPUBLIC OF
Menrad, Andreas, Oranienburg, GERMANY, FEDERAL REPUBLIC
OF
Haberey, Martin, Berlin, GERMANY, FEDERAL REPUBLIC OF

| | NUMBER | KIND | DATE |
|---------------------|----------------|------|---------------|
| PATENT INFORMATION: | US 2004254185 | A1 | 20041216 |
| APPLICATION INFO.: | US 2004-477119 | A1 | 20040623 (10) |
| | WO 2002-EP4924 | | 20020503 |

NUMBER DATE

PRIORITY INFORMATION: DE 2001-123574 20010508
 DE 2001-125294 20010515
 DE 2001-164590 20011221
 DOCUMENT TYPE: Utility
 FILE SEGMENT: APPLICATION
 LEGAL REPRESENTATIVE: MILLEN, WHITE, ZELANO & BRANIGAN, P.C., 2200 CLARENDON
 BLVD., SUITE 1400, ARLINGTON, VA, 22201
 NUMBER OF CLAIMS: 12
 EXEMPLARY CLAIM: 1
 LINE COUNT: 2153
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Selective anthranilamide pyridinamides as VEGFR-2 and VEGFR-3 inhibitors, their production and use as pharmaceutical agents for treating diseases that are triggered by persistent angiogenesis are described. The compounds according to the invention can be used as or in the case of psoriasis, Kaposi's sarcoma, restenosis, such as, e.g., stent-induced restenosis, endometriosis, Crohn's disease, Hodgkin's disease, leukemia; arthritis, such as rheumatoid arthritis, hemangioma, angiofibroma; eye diseases, such as diabetic retinopathy, neovascular glaucoma; renal diseases, such as glomerulonephritis, diabetic nephropathy, malignant nephrosclerosis, thrombotic microangiopathic syndrome, transplant rejections and glomerulopathy; fibrotic diseases, such as cirrhosis of the liver, mesangial cell proliferative diseases, arteriosclerosis, injuries to nerve tissue, and inhibition of the reocclusion of vessels after balloon catheter treatment, in vascular prosthetics or after mechanical devices are used to keep vessels open, such as, e.g., stents, as immunosuppressive agents, as a support in scar-free healing, senile keratosis and contact dermatitis. The compounds according to the invention can also be used as VEGFR-3 inhibitors in the case of lymphangiogenesis in hyper- and dysplastic changes of the lymphatic system.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

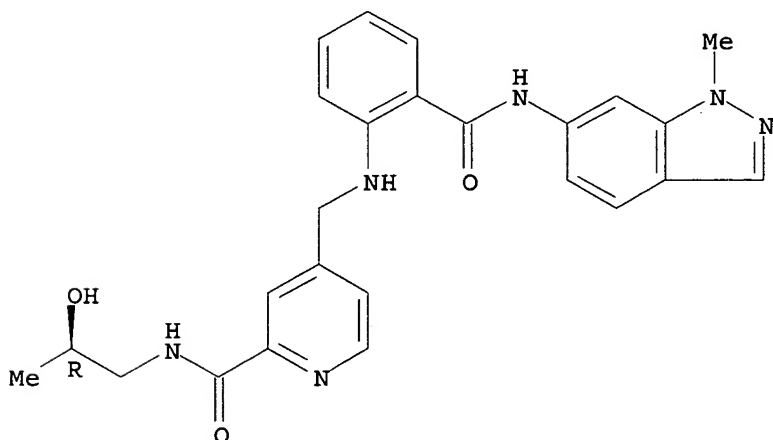
IT 474798-92-0P 474798-93-1P 474798-94-2P
 474798-96-4P

(preparation of isoquinolinylcarbamoylethylphenylaminomethylpyridinecarboxamides as VEGFR-2 and VEGFR-3 inhibitors)

RN 474798-92-0 USPATFULL

CN 2-Pyridinecarboxamide, N-[(2R)-2-hydroxypropyl]-4-[[2-[[[(1-methyl-1H-indazol-6-yl)amino]carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

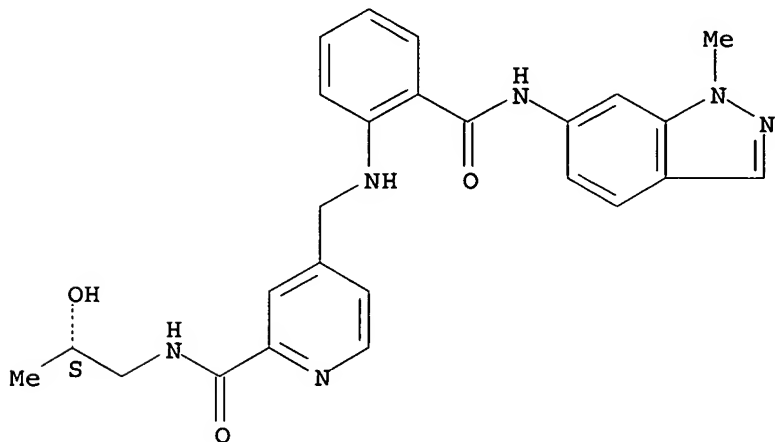


RN 474798-93-1 USPATFULL

10/631,018

CN 2-Pyridinecarboxamide, N-[(2S)-2-hydroxypropyl]-4-[[[2-[(1-methyl-1H-indazol-6-yl)amino]carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

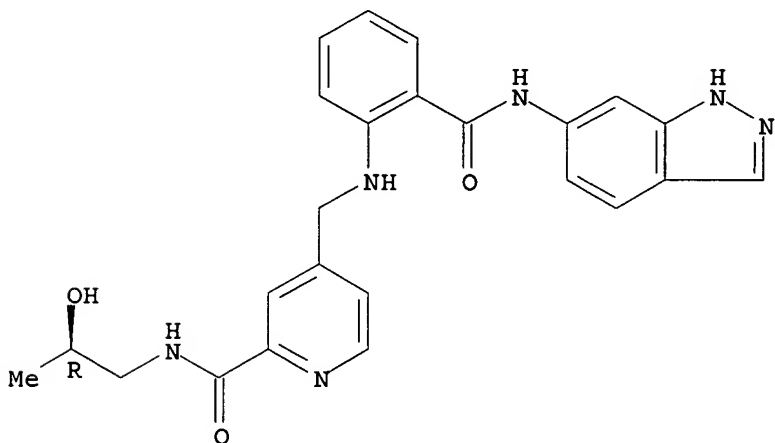
Absolute stereochemistry.



RN 474798-94-2 USPATFULL

CN 2-Pyridinecarboxamide, N-[(2R)-2-hydroxypropyl]-4-[[[2-[(1H-indazol-6-ylamino)carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

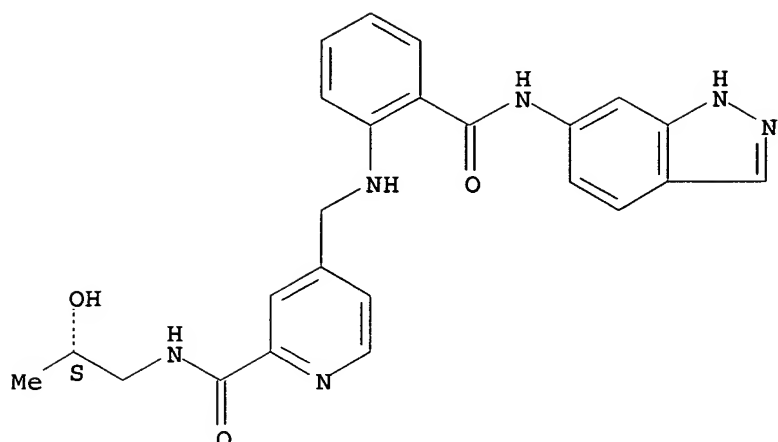
Absolute stereochemistry.



RN 474798-96-4 USPATFULL

CN 2-Pyridinecarboxamide, N-[(2S)-2-hydroxypropyl]-4-[[[2-[(1H-indazol-6-ylamino)carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 4 OF 10 USPATFULL on STN

ACCESSION NUMBER: 2004:255252 USPATFULL

TITLE: N-aryl (THIO) anthranilic acid amide derivatives, their preparation and their use as VEGF receptor tyrosine kinase inhibitors

INVENTOR(S):

Altmann, Karl-Heinz, Reinach, SWITZERLAND
 Bold, Guido, Gipf-Oberfrick, SWITZERLAND
 Furet, Pascal, Thann, FRANCE
 Manley, Paul William, Arlesheim, SWITZERLAND
 Wood, Jeanette Marjorie, Biel-Benken, SWITZERLAND
 Ferrari, Stefano, Muttenez, SWITZERLAND
 Hofmann, Francesco, Bottmingen, SWITZERLAND
 Mestan, Jurgen, Denzlingen, GERMANY, FEDERAL REPUBLIC OF
 Huth, Andreas, Berlin, GERMANY, FEDERAL REPUBLIC OF
 Kruger, Martin, Berlin, GERMANY, FEDERAL REPUBLIC OF
 Seidelmann, Dieter, Berlin, GERMANY, FEDERAL REPUBLIC OF
 Menrad, Andreas, Oranienburg, GERMANY, FEDERAL REPUBLIC OF
 Haberey, Martin, Berlin, GERMANY, FEDERAL REPUBLIC OF
 Thierauch, Karl-Heinz, Berlin, GERMANY, FEDERAL REPUBLIC OF

| | NUMBER | KIND | DATE |
|-----------------------|---|------|---------------|
| PATENT INFORMATION: | US 2004198782 | A1 | 20041007 |
| APPLICATION INFO.: | US 2004-828951 | A1 | 20040421 (10) |
| RELATED APPLN. INFO.: | Division of Ser. No. US 2002-180289, filed on 26 Jun 2002, PENDING Division of Ser. No. US 2001-850434, filed on 7 May 2001, GRANTED, Pat. No. US 6448277 Continuation of Ser. No. WO 1999-EP8545, filed on 8 Nov 1999, UNKNOWN | | |

| | NUMBER | DATE |
|-----------------------|---|----------|
| PRIORITY INFORMATION: | GB 1998-24579 | 19981110 |
| DOCUMENT TYPE: | Utility | |
| FILE SEGMENT: | APPLICATION | |
| LEGAL REPRESENTATIVE: | NOVARTIS, CORPORATE INTELLECTUAL PROPERTY, ONE HEALTH PLAZA 430/2, EAST HANOVER, NJ, 07936-1080 | |
| NUMBER OF CLAIMS: | 17 | |
| EXEMPLARY CLAIM: | 1 | |

10/631,018

LINE COUNT: 2629
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB ##STR1##

Described are compounds of formula (I), wherein W is O or S; X is NR.sub.8; Y is CR.sub.9R.sub.10--(CH.sub.2).sub.n wherein R.sub.9 and R.sub.10 are independently of each other hydrogen or lower alkyl, and n is an integer of from and including 0 to and including 3; or Y is SO.sub.2; R.sub.2 is aryl; R.sub.2 is a mono- or bicyclic heteroaryl group comprising one or more ring nitrogen atoms with the exception that R.sub.2 cannot represent 2-phthalimidyl, and in case of Y=SO.sub.2 cannot represent 2,1,3-benzothiadiazol-4-yl; any of R.sub.3, R.sub.4, R.sub.5 and R.sub.6, independently of the other, is H or a substituent other than hydrogen; and R.sub.7 and R.sub.8, independently of each other, are H or lower alkyl; or a N-oxide or a pharmaceutically acceptable salt thereof for the preparation of a pharmaceutical product for the treatment of a neoplastic disease which responds to an inhibition of the VEGF receptor tyrosine kinase activity. The compounds of formula (I) can be used for the treatment e.g. of a neoplastic disease, such as a tumor disease, of retinopathy and age-related macular degeneration.

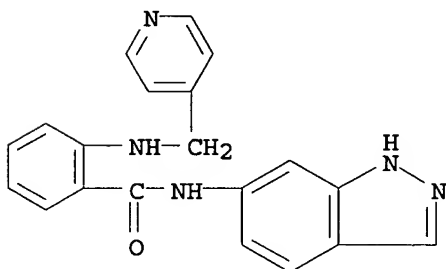
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 267891-75-8P

(preparation of anthranilic acid amides as VEGF receptor inhibitors)

RN 267891-75-8 USPATFULL

CN Benzamide, N-1H-indazol-6-yl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



L5 ANSWER 5 OF 10 USPATFULL on STN

ACCESSION NUMBER: 2004:190762 USPATFULL

TITLE: VEGFR-2 and VEGFR-3 inhibitory anthranilamide pyridines

INVENTOR(S): Huth, Andreas, Berlin, GERMANY, FEDERAL REPUBLIC OF
Zorn, Ludwig, Berlin, GERMANY, FEDERAL REPUBLIC OF
Kruger, Martin, Berlin, GERMANY, FEDERAL REPUBLIC OF
Ince, Stuart, Berlin, GERMANY, FEDERAL REPUBLIC OF
Thierauch, Karl-Heinz, Berlin, GERMANY, FEDERAL
REPUBLIC OF
Menrad, Andreas, Berlin, GERMANY, FEDERAL REPUBLIC OF
Haberey, Martin, Berlin, GERMANY, FEDERAL REPUBLIC OF
Hess-Stumpp, Holger, Berlin, GERMANY, FEDERAL REPUBLIC
OF

| | NUMBER | KIND | DATE |
|---------------------|----------------|------|---------------|
| PATENT INFORMATION: | US 2004147535 | A1 | 20040729 |
| APPLICATION INFO.: | US 2003-631018 | A1 | 20030731 (10) |

| NUMBER | DATE |
|--------|------|
|--------|------|

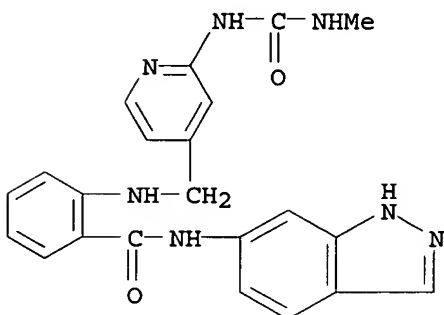
 PRIORITY INFORMATION: DE 2002-10235690 20020731
 DOCUMENT TYPE: Utility
 FILE SEGMENT: APPLICATION
 LEGAL REPRESENTATIVE: MILLEN, WHITE, ZELANO & BRANIGAN, P.C., 2200 CLARENDON
 BLVD., SUITE 1400, ARLINGTON, VA, 22201
 NUMBER OF CLAIMS: 11
 EXEMPLARY CLAIM: 1
 LINE COUNT: 1615

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB VEGFR-2 and VEGFR-3 inhibitory anthranilamide pyridinamides, their production and use as pharmaceutical agents for treating diseases that are triggered by persistent angiogenesis, as well as intermediate products for the production of the compounds are described. The compounds according to the invention can be used as or in the case of tumor or metastasis growth, psoriasis, Kaposi's sarcoma, restenosis, such as, e.g., stent-induced restenosis, endometriosis, Crohn's disease, Hodgkin's disease, leukemia; arthritis, such as rheumatoid arthritis, hemangioma, angiofibroma; eye diseases, such as diabetic retinopathy, neovascular glaucoma; renal diseases, such as glomerulonephritis, diabetic nephropathy, malignant nephrosclerosis, thrombotic microangiopathic syndrome, transplant rejections and glomerulopathy; fibrotic diseases, such as cirrhosis of the liver, mesangial cell proliferative diseases, arteriosclerosis, injuries to nerve tissue, and inhibition of the reocclusion of vessels after balloon catheter treatment, in vascular prosthetics or after mechanical devices are used to keep vessels open, such as, e.g., stents, as immunosuppressive agents, as a support in scar-free healing, senile keratosis and contact dermatitis. The compounds according to the invention can also be used as VEGFR-3 inhibitors in the case of lymphangiogenesis.

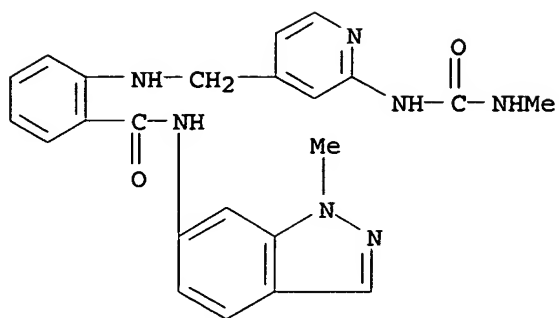
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 657400-26-5P 657400-27-6P 657400-34-5P
 657400-36-7P 657400-65-2P 657400-69-6P
 657400-70-9P 657400-71-0P 657400-85-6P
 657400-91-4P 657400-99-2P 657401-00-8P
 (preparation of anthranilamidopyridines as inhibitors of vascular endothelial growth factor receptor)
 RN 657400-26-5 USPATFULL
 CN Benzamide, N-1H-indazol-6-yl-2-[[[2-[[[(methylamino)carbonyl]amino]-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)



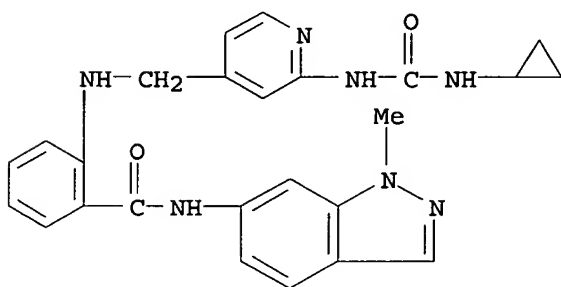
RN 657400-27-6 USPATFULL
 CN Benzamide, 2-[[[2-[[[(methylamino)carbonyl]amino]-4-pyridinyl]methyl]amino]- N-(1-methyl-1H-indazol-6-yl)- (9CI) (CA INDEX NAME)

10/631,018



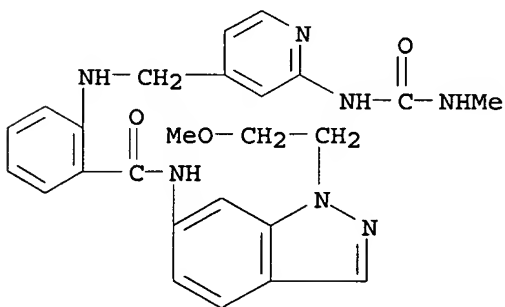
RN 657400-34-5 USPATFULL

CN Benzamide, 2-[[[2-[[[(cyclopropylamino)carbonyl]amino]-4-pyridinyl]methyl]amino]-N-(1-methyl-1H-indazol-6-yl)]- (9CI) (CA INDEX NAME)



RN 657400-36-7 USPATFULL

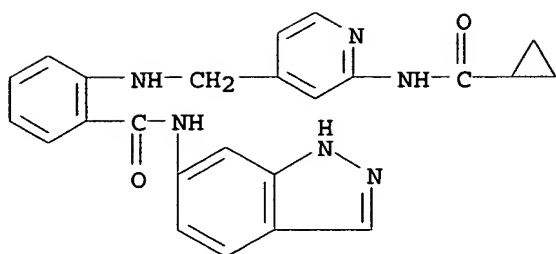
CN Benzamide, N-[1-(2-methoxyethyl)-1H-indazol-6-yl]-2-[[[2-[[[(methylamino)carbonyl]amino]-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)



RN 657400-65-2 USPATFULL

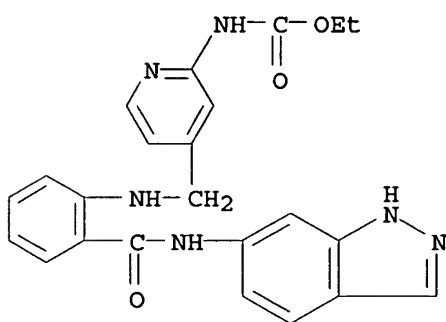
CN Benzamide, 2-[[[2-[[[(cyclopropylcarbonyl)amino]-4-pyridinyl]methyl]amino]-N-1H-indazol-6-yl]- (9CI) (CA INDEX NAME)

10/631,018



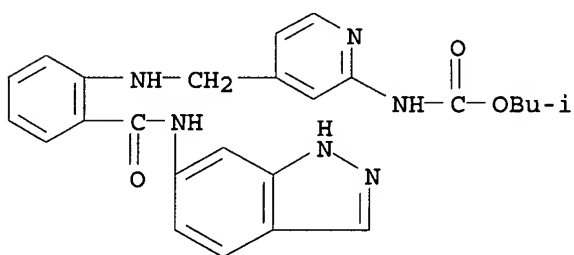
RN 657400-69-6 USPATFULL

CN Carbamic acid, [4-[[[2-[(1H-indazol-6-ylamino)carbonyl]phenyl]amino]methyl]-2-pyridinyl]-, ethyl ester (9CI) (CA INDEX NAME)



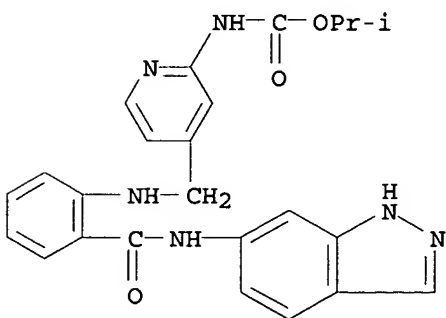
RN 657400-70-9 USPATFULL

CN Carbamic acid, [4-[[[2-[(1H-indazol-6-ylamino)carbonyl]phenyl]amino]methyl]-2-pyridinyl]-, 2-methylpropyl ester (9CI) (CA INDEX NAME)



RN 657400-71-0 USPATFULL

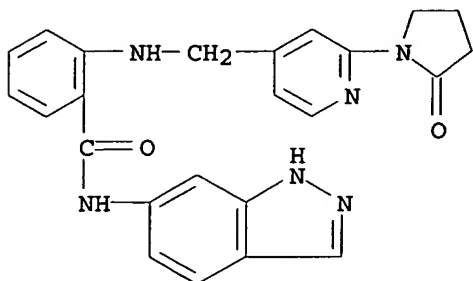
CN Carbamic acid, [4-[[[2-[(1H-indazol-6-ylamino)carbonyl]phenyl]amino]methyl]-2-pyridinyl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)



10/631,018

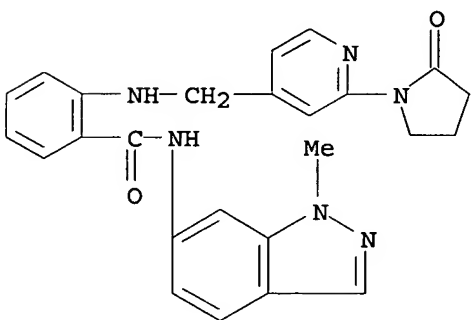
RN 657400-85-6 USPATFULL

CN Benzamide, N-1H-indazol-6-yl-2-[[[2-(2-oxo-1-pyrrolidinyl)-4-pyridinyl]methyl]amino] - (9CI) (CA INDEX NAME)



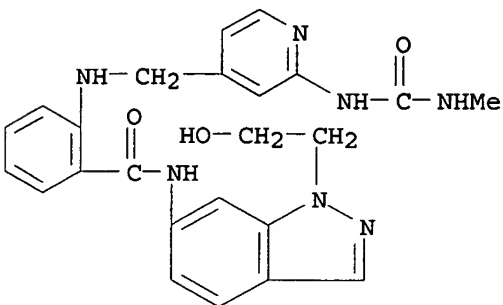
RN 657400-91-4 USPATFULL

CN Benzamide, N-(1-methyl-1H-indazol-6-yl)-2-[[[2-(2-oxo-1-pyrrolidinyl)-4-pyridinyl]methyl]amino] - (9CI) (CA INDEX NAME)



RN 657400-99-2 USPATFULL

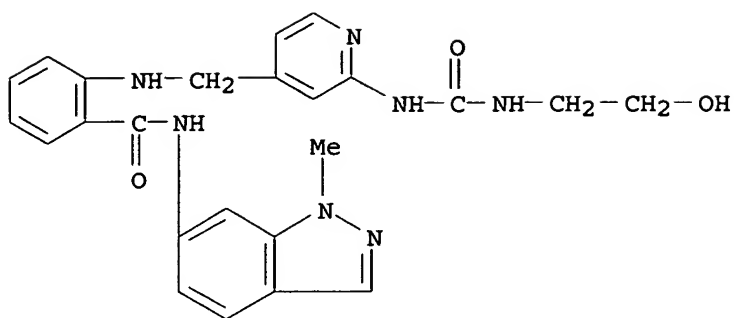
CN Benzamide, N-[1-(2-hydroxyethyl)-1H-indazol-6-yl]-2-[[[2-[[[(methylamino)carbonyl]amino]-4-pyridinyl]methyl]amino] - (9CI) (CA INDEX NAME)



RN 657401-00-8 USPATFULL

CN Benzamide, 2-[[[2-[[[(2-hydroxyethyl)amino]carbonyl]amino]-4-pyridinyl]methyl]amino]-N-(1-methyl-1H-indazol-6-yl) - (9CI) (CA INDEX NAME)

10/631,018



L5 ANSWER 6 OF 10 USPATFULL on STN

ACCESSION NUMBER: 2004:133904 USPATFULL

TITLE: Ortho-substituted anthranilic acid amides and their use as medicaments

INVENTOR(S): Krueger, Martin, Berlin, GERMANY, FEDERAL REPUBLIC OF
Huth, Andreas, Berlin, GERMANY, FEDERAL REPUBLIC OF
Petrov, Orlin, Berlin, GERMANY, FEDERAL REPUBLIC OF
Seidelmann, Dieter, Berlin, GERMANY, FEDERAL REPUBLIC OF
Thierauch, Karl-Heinz, Berlin, GERMANY, FEDERAL REPUBLIC OF
Haberey, Martin, Berlin, GERMANY, FEDERAL REPUBLIC OF
Menrad, Andreas, Oranienburg, GERMANY, FEDERAL REPUBLIC OF
Ernst, Alexander, Berlin, GERMANY, FEDERAL REPUBLIC OF

| | NUMBER | KIND | DATE |
|---------------------|----------------|------|---------------|
| PATENT INFORMATION: | US 2004102441 | A1 | 20040527 |
| APPLICATION INFO.: | US 2003-275480 | A1 | 20030624 (10) |
| | WO 2001-EP5214 | | 20010508 |

| | NUMBER | DATE |
|-----------------------|--|----------|
| PRIORITY INFORMATION: | DE 2000-10023486 | 20000509 |
| DOCUMENT TYPE: | Utility | |
| FILE SEGMENT: | APPLICATION | |
| LEGAL REPRESENTATIVE: | MILLEN, WHITE, ZELANO & BRANIGAN, P.C., 2200 CLARENDON BLVD., SUITE 1400, ARLINGTON, VA, 22201 | |
| NUMBER OF CLAIMS: | 7 | |
| EXEMPLARY CLAIM: | 1 | |
| LINE COUNT: | 602 | |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Ortho-substituted anthranilic acid amides and use thereof as pharmaceutical agents for treating diseases that are triggered by persistent angiogenesis are described.

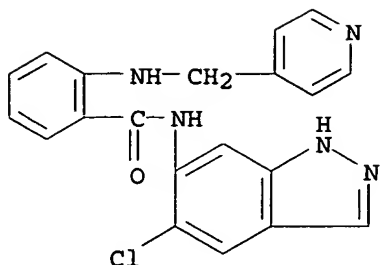
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 381694-76-4P

(preparation of anthranilic acid arylamides as inhibitors of tyrosine kinase KDR and FLT)

RN 381694-76-4 USPATFULL

CN Benzamide, N-(5-chloro-1H-indazol-6-yl)-2-[(4-pyridinylmethyl)amino]-(9CI) (CA INDEX NAME)



L5 ANSWER 7 OF 10 USPATFULL on STN

ACCESSION NUMBER: 2003:93631 USPATFULL

TITLE: N-aryl (thio) anthranilic acid amide derivatives, their preparation and their use as VEGF receptor tyrosine kinase inhibitors

INVENTOR(S): Altmann, Karl-Heinz, Reinach, SWITZERLAND
 Bold, Guido, Gipf-Oberfrick, SWITZERLAND
 Furet, Pascal, Thann, FRANCE
 Manley, Paul William, Arlesheim, SWITZERLAND
 Wood, Jeanette Marjorie, Biel-Benken, SWITZERLAND
 Ferrari, Stefano, Muttenez, SWITZERLAND
 Hofmann, Francesco, Bottmingen, SWITZERLAND
 Mestan, Jorgen, Denzlingen, GERMANY, FEDERAL REPUBLIC OF
 Huth, Andreas, Berlin, GERMANY, FEDERAL REPUBLIC OF
 Kruger, Martin, Berlin, GERMANY, FEDERAL REPUBLIC OF
 Seidelmann, Dieter, Berlin, GERMANY, FEDERAL REPUBLIC OF
 Menrad, Andreas, Oranienburg, GERMANY, FEDERAL REPUBLIC OF
 Haberey, Martin, Berlin, GERMANY, FEDERAL REPUBLIC OF
 Thierauch, Karl-Heinz, Berlin, GERMANY, FEDERAL REPUBLIC OF

| | NUMBER | KIND | DATE |
|-----------------------|---|------|---------------|
| PATENT INFORMATION: | US 2003064992 | A1 | 20030403 |
| | US 6878720 | B2 | 20050412 |
| APPLICATION INFO.: | US 2002-180289 | A1 | 20020626 (10) |
| RELATED APPLN. INFO.: | Division of Ser. No. US 2001-850434, filed on 7 May 2001, GRANTED, Pat. No. US 6448277 A 371 of International Ser. No. WO 1999-EP8545, filed on 8 Nov 1999, UNKNOWN | | |

| | NUMBER | DATE |
|-----------------------|---|----------|
| PRIORITY INFORMATION: | GB 1998-24579 | 19981110 |
| DOCUMENT TYPE: | Utility | |
| FILE SEGMENT: | APPLICATION | |
| LEGAL REPRESENTATIVE: | THOMAS HOXIE, NOVARTIS CORPORATION, PATENT AND TRADEMARK DEPT, 564 MORRIS AVENUE, SUMMIT, NJ, 079011027 | |

NUMBER OF CLAIMS: 17
 EXEMPLARY CLAIM: 1
 LINE COUNT: 2632
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.
 AB ##STR1##

Described are compounds of formula (I), wherein W is O or S; X is

NR.sub.8; Y is CR.sub.9R.sub.10--(CH.sub.2)ⁿ wherein R.sub.9 and R.sub.10 are independently of each other hydrogen or lower alkyl, and n is an integer of from and including 0 to and including 3; or Y is SO.sub.2; R.sub.1 is aryl; R.sub.2 is a mono- or bicyclic heteroaryl group comprising one or more ring nitrogen atoms with the exception that R.sub.2 cannot represent 2-phthalimidyl, and in case of Y=SO.sub.2 cannot represent 2,1,3-benzothiadiazol-4-yl; any of R.sub.3, R.sub.4, R.sub.5 and R.sub.6, independently of the other, is H or a substituent other than hydrogen; and R.sub.7 and R.sub.8, independently of each other, are H or lower alkyl; or a N-oxide or a pharmaceutically acceptable salt thereof for the preparation of a pharmaceutical product for the treatment of a neoplastic disease which responds to an inhibition of the VEGF receptor tyrosine kinase activity. The compounds of formula (I) can be used for the treatment e.g. of a neoplastic disease, such as a tumor disease, of retinopathy and age-related macular degeneration.

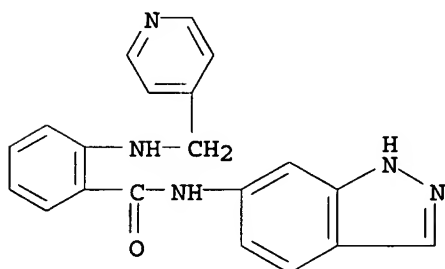
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 267891-75-8P

(preparation of anthranilic acid amides as VEGF receptor inhibitors)

RN 267891-75-8 USPATFULL

CN Benzamide, N-1H-indazol-6-yl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



L5 ANSWER 8 OF 10 USPATFULL on STN

ACCESSION NUMBER: 2002:32592 USPATFULL

TITLE: N-aryl(thio)anthranilic acid amide derivatives, their preparation and their use as VEGF receptor tyrosine kinase inhibitors

INVENTOR(S):
 Altman, Karl-Heinz, Reinach, SWITZERLAND
 Bold, Guido, Gipf-Oberfrick, SWITZERLAND
 Furet, Pascal, Thann, FRANCE
 Manley, Paul William, Arlesheim, SWITZERLAND
 Wood, Jeanette Marjorie, Biel-Benken, SWITZERLAND
 Ferrari, Stefano, Muttentz, SWITZERLAND
 Hofmann, Francesco, Bottmingen, SWITZERLAND
 Mestan, Jurgen, Denzlingen, GERMANY, FEDERAL REPUBLIC OF
 Huth, Andreas, Berlin, GERMANY, FEDERAL REPUBLIC OF
 Kruger, Martin, Berlin, GERMANY, FEDERAL REPUBLIC OF
 Seidelmann, Dieter, Berlin, GERMANY, FEDERAL REPUBLIC OF
 Menrad, Andreas, Oranienburg, GERMANY, FEDERAL REPUBLIC OF
 Haberey, Martin, Berlin, GERMANY, FEDERAL REPUBLIC OF
 Thierauch, Karl-Heinz, Berlin, GERMANY, FEDERAL REPUBLIC OF

NUMBER KIND DATE

10/631,018

PATENT INFORMATION: US 2002019414 A1 20020214
US 6448277 B2 20020910
APPLICATION INFO.: US 2001-850434 A1 20010507 (9)
RELATED APPLN. INFO.: Continuation of Ser. No. WO 1999-EP8545, filed on 8 Nov 1999, UNKNOWN

| | NUMBER | DATE |
|--|---|----------|
| PRIORITY INFORMATION: | GB 1998-24579 | 19981110 |
| DOCUMENT TYPE: | Utility | |
| FILE SEGMENT: | APPLICATION | |
| LEGAL REPRESENTATIVE: | THOMAS HOXIE, NOVARTIS CORPORATION, PATENT AND TRADEMARK DEPT, 564 MORRIS AVENUE, SUMMIT, NJ, 079011027 | |
| NUMBER OF CLAIMS: | 17 | |
| EXEMPLARY CLAIM: | 1 | |
| LINE COUNT: | 2620 | |
| CAS INDEXING IS AVAILABLE FOR THIS PATENT. | | |
| AB | ##STR1## | |

Described are compounds of formula (I), wherein W is O or S; X is NR.sub.8; Y is CR.sub.9R.sub.10-(CH.sub.2)n wherein R.sub.9 and R.sub.10 are independently of each other hydrogen or lower alkyl, and n is an integer of from and including 0 to and including 3; or Y is SO.sub.2; R.sub.1 is aryl; R.sub.2 is a mono- or bicyclic heteroaryl group comprising one or more ring nitrogen atoms with the exception that R.sub.2 cannot represent 2-phthalimidyl, and in case of Y.dbd.SO.sub.2 cannot represent 2,1,3-benzothiadiazol-4-yl; any of R.sub.3, R.sub.4, R.sub.5 and R.sub.6, independently of the other, is H or a substituent other than hydrogen; and R.sub.7 and R.sub.8, independently of each other, are H or lower alkyl; or a N-oxide or a pharmaceutically acceptable salt thereof for the preparation of a pharmaceutical product for the treatment of a neoplastic disease which responds to an inhibition of the VEGF receptor tyrosine kinase activity. The compounds of formula (I) can be used for the treatment e.g. of a neoplastic disease, such as a tumor disease, of retinopathy and age-related macular degeneration.

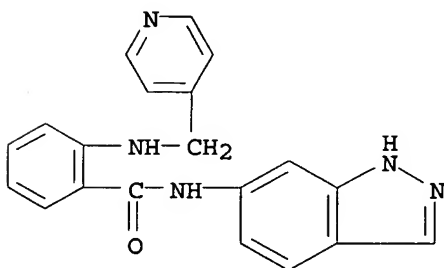
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 267891-75-8P

(preparation of anthranilic acid amides as VEGF receptor inhibitors)

RN 267891-75-8 USPATFULL

CN Benzamide, N-1H-indazol-6-yl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



L5 ANSWER 9 OF 10 USPAT2 on STN

ACCESSION NUMBER: 2003:93631 USPAT2

TITLE: VEGF receptor tyrosine kinase inhibitors

10/631,018

INVENTOR(S): Altmann, Karl-Heinz, Reinach, SWITZERLAND
 Bold, Guido, Gipf-Oberfrick, SWITZERLAND
 Furet, Pascal, Thann, FRANCE
 Manley, Paul William, Arlesheim, SWITZERLAND
 Wood, Jeanette Marjorie, Biel-Benken, SWITZERLAND
 Ferrari, Stefano, MuttENZ, SWITZERLAND
 Hofmann, Francesco, Bottmingen, SWITZERLAND
 Mestan, Jurgen, Denzlingen, GERMANY, FEDERAL REPUBLIC
 OF
 Huth, Andreas, Berlin, GERMANY, FEDERAL REPUBLIC OF
 Kruger, Martin, Berlin, GERMANY, FEDERAL REPUBLIC OF
 Seidelmann, Dieter, Berlin, GERMANY, FEDERAL REPUBLIC
 OF
 Menrad, Andreas, Oranienburg, GERMANY, FEDERAL REPUBLIC
 OF
 Haberey, Martin, Berlin, GERMANY, FEDERAL REPUBLIC OF
 Thierauch, Karl-Heinz, Berlin, GERMANY, FEDERAL
 REPUBLIC OF
PATENT ASSIGNEE(S): Novartis AG, Basel, SWITZERLAND (non-U.S. corporation)
 Schering Aktiengesellschaft, Berlin, GERMANY, FEDERAL
 REPUBLIC OF (non-U.S. corporation)

| | NUMBER | KIND | DATE |
|-----------------------|---|------|---------------|
| PATENT INFORMATION: | US 6878720 | B2 | 20050412 |
| APPLICATION INFO.: | US 2002-180289 | | 20020626 (10) |
| RELATED APPLN. INFO.: | Division of Ser. No. US 850434, Pat. No. US 6448277 A 371 of International Ser. No. WO 1999-EP8545, filed on 8 Nov 1999 | | |

| | NUMBER | DATE |
|-----------------------|--|----------|
| PRIORITY INFORMATION: | GB 1998-24579 | 19981110 |
| DOCUMENT TYPE: | Utility | |
| FILE SEGMENT: | GRANTED | |
| PRIMARY EXAMINER: | Desai, Rita | |
| LEGAL REPRESENTATIVE: | McNally, Lydia T., Dohmann, George R. | |
| NUMBER OF CLAIMS: | 16 | |
| EXEMPLARY CLAIM: | 1 | |
| NUMBER OF DRAWINGS: | 0 Drawing Figure(s); 0 Drawing Page(s) | |
| LINE COUNT: | 2293 | |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Described are compounds of formula (I), wherein W is O or S; X is NR.sub.8; Y is CR.sub.9R.sub.10--(CH.sub.2)n wherein R.sub.9 and R.sub.10 are independently of each other hydrogen or lower alkyl, and n is an integer of from and including 0 to and including 3; or Y is SO.sub.2; R.sub.1 is aryl; R.sub.2 is a bicyclic heteroaryl group comprising one ring nitrogen atom with the exception that R.sub.2 cannot represent 2-phthalimidyl; any of R.sub.3, R.sub.4, R.sub.5 and R.sub.6, independently of the other, is H or a substituent other than hydrogen; and R.sub.7 and R.sub.8, independently of each other, are H or lower alkyl; or a N-oxide or a pharmaceutically acceptable salt thereof for the preparation of a pharmaceutical product for the treatment of a neoplastic disease which responds to an inhibition of the VEGF receptor tyrosine kinase activity. The compounds of formula (I) can be used for the treatment e.g. of a neoplastic disease, such as a tumor disease, of retinopathy and age-related macular degeneration. ##STR1##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

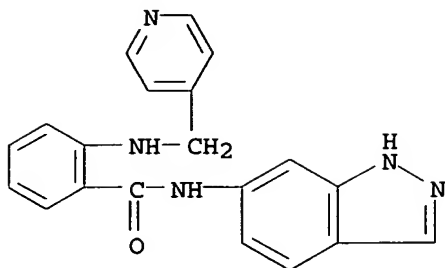
IT 267891-75-8P

(preparation of anthranilic acid amides as VEGF receptor inhibitors)

RN 267891-75-8 USPAT2

CN Benzamide, N-1H-indazol-6-yl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA

INDEX NAME)



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ACCESSION NUMBER: 2002:32592 USPAT2

TITLE: VEGF receptor tyrosine kinase inhibitors

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R.sub.10 are independently of each other hydrogen or lower alkyl, and n is an integer of from and including 0 to and including 3; or Y is SO.sub.2; R.sub.1 is aryl; R.sub.2 is a mono- or bicyclic heteroaryl group comprising one or more ring nitrogen atoms with the exception that R.sub.2 cannot represent 2-phthalimidyl, and in case of Y=SO.sub.2 cannot represent 2,1,3-benzothiadiazol-4-yl; any of R.sub.3, R.sub.4, R.sub.5 and R.sub.6, independently of the other, is H or a substituent other than hydrogen; and R.sub.7 and R.sub.8, independently of each other, are H or lower alkyl; or a N-oxide or a pharmaceutically acceptable salt thereof for the preparation of a pharmaceutical product for the treatment of a neoplastic disease which responds to an inhibition of the VEGF receptor tyrosine kinase activity. The compounds of formula (I) can be used for the treatment e.g. of a neoplastic disease, such as a tumor disease, of retinopathy and age-related macular degeneration. ##STR1##

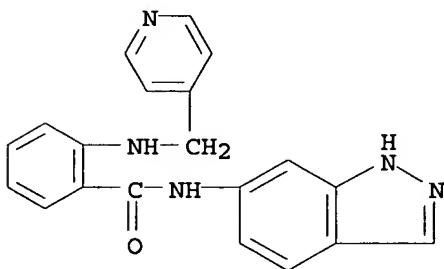
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